

All communications respecting this case should identify it by number and names of parties.



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**FEB 18 1999**

**PAT. & T.M. OFFICE  
BOARD OF PATENT APPEALS  
AND INTERFERENCES**

Applicants: Bouchard et al.  
Application: 08/162,984  
Filed: 12/08/93  
Title: NEW TAXIODS, THEIR  
PREPARATION AND  
PHARMACEUTICAL  
COMPOSITION CONTAINING  
THEM

Accorded benefit: France  
92 14813, filed 12/09/92

**REDECLARATION**

The primary examiner has requested that another interference be declared between the Chen et al. patent 4,254,580 and a third party, Hester et al. application, Serial No. 08/454,210. Upon review by the APJ, it is clear that the third party, Hester et al., claims the same patentable invention as that of count 1 in this interference. Further, after consulting with the primary examiner it appears that Hester et al. may have support for counts 2 and/or 3, and thus, the examiner has recommended that the Hester et al. application be added to this proceeding.

Accordingly, the APJ pursuant to 37 CFR § 1.642 will redeclare this interference to add the Hester application, Serial No. 08/454,210, to this interference. However, in order to resolve the issue of whether Hester et al. can participate as to counts 2 and/or 3, the undersigned sets forth **A 30 DAY PERIOD FOR HESTER ET AL.** to file an amendment containing claims directly to counts 2 and/or 3. If it is determined that

Serial No. 08/162,984

Hester et al. cannot or declines to present claims which define the same patentable invention(s) as that of counts 2 and/or 3, the present interference will be bifurcated with respect to the counts. In re Redeclaration of Interferences, 1926 C.D. 75. Each party must be involved on every count.

After a determination is made with respect to Hester et al. application and counts 2 and 3, an order will issue providing a restricted motion period for parties Chen et al. and Bouchard et al., limited to the bringing of such motions as could not have been previously brought, i.e., any motion which they might have filed had the Hester et al. application been part of the interference at the time preliminary motions were originally filed.

Accordingly, the Administrative Patent Judge (APJ), pursuant to 37 CFR § 1.642, redeclares Interference No. 103,675 by adding the Hester et al. application to this proceeding as follows:

**Junior Party**

Patentees:

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Application:

08/029,819, filed March 11, 1993, now Patent  
No. 5,254,580, granted October 19, 1993

Title:

7, 8-CYCLOPROPATAXANES

Serial No. 08/162,984

Assignee: Bristol-Myers Squibb Company

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Accorded Benefit: None

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Serial No. 08/162,984

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**Application:**

08/454,210, filed June 9, 1995

**Title:**

7-HALO- AND 7BETA-METHANO-TAXOLS,  
ANTINEOPLASTIC USE AND PHARMACEUTICAL  
COMPOSITIONS CONTAINING THEM

**Assignee:**

None

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**Asso. Attorney:**

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**Accorded Benefit:**

07/990,579, filed December 15, 1992; 08/122,974,  
filed September 17, 1993; 08/013,826, filed  
February 2, 1993 and PCT US93/11827, filed  
December 13, 1993

Serial No. 08/162,984

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Application: 08/162,984, filed December 8, 1993

Title: NEW TAXOIDS, THEIR PREPARATION AND  
PHARMACEUTICAL COMPOSITION CONTAINING  
THEM

Assignee: None

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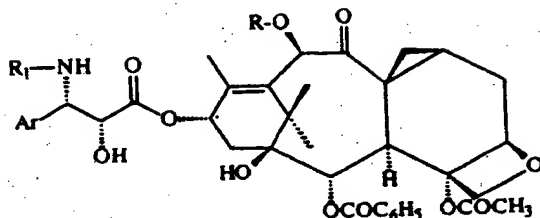
Associates: None

Accorded benefit: France 92 14813, filed December 9, 1992

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**COUNT 1**

[Bouchard] A taxoid of the formula:



in which

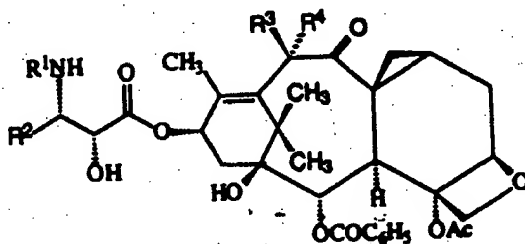
R represents hydrogen or acetyl,

R<sub>1</sub> represents benzoyl or R<sub>2</sub>-O-CO- in which R<sub>2</sub> represents t-butyl, and

Ar represents phenyl or  $\alpha$ - or  $\beta$ -naphthyl, said phenyl or naphthyl being unsubstituted or substituted by C<sub>1-4</sub> alkyl, C<sub>1-4</sub> alkoxy, halogen, or CF<sub>3</sub>, or Ar represents 2- or 3-thienyl or 2- or 3-furyl, said thienyl or furyl being unsubstituted or substituted by halogen,

OR

[Chen] A compound of the formula



in which

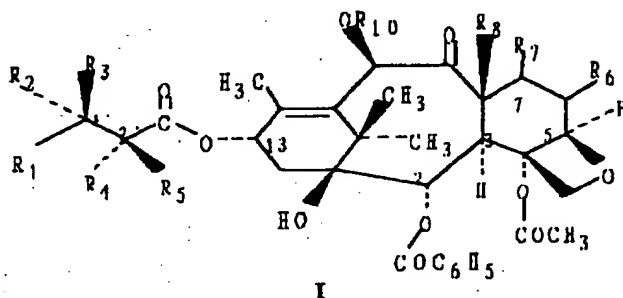
$R^1$  or  $-\text{COR}^2$  in which  $R^2$  is t-butyloxy,  $\text{C}_{1-6}$  alkyl,  $\text{C}_{2-6}$  alkenyl,  $\text{C}_{2-6}$  alkynyl,  $\text{C}_{3-6}$  cycloalkyl, or phenyl, optionally substituted with one to three same or different  $\text{C}_{1-6}$  alkyl,  $\text{C}_{1-6}$  alkoxy, halogen or  $-\text{CF}_3$  groups;

$R^2$  is  $\text{C}_{1-6}$  alkyl,  $\text{C}_{1-6}$  alkenyl,  $\text{C}_{2-6}$  alkynyl,  $\text{C}_{3-6}$  cycloalkyl, or a radical of the formula  $-\text{W}-\text{R}^x$  in which W is a bond,  $\text{C}_{2-6}$  alkenediyl, or  $-(\text{CH}_2)_t-$ , in which t is one to six; and  $\text{R}^x$  is naphthyl, furyl, thienyl or phenyl, and furthermore  $\text{R}^x$  can be optionally substituted with one to three same or different  $\text{C}_{1-6}$  alkyl,  $\text{C}_{1-6}$  alkoxy, halogen or  $-\text{CF}_3$  groups; and

$\text{R}^3$  is  $\text{OCOR}$ ,  $-\text{OCOOR}$ , H, OH;  $\text{R}^4$  is hydrogen; or  $\text{R}^3$  and  $\text{R}^4$  jointly form a carbonyl group; and R is  $\text{C}_{1-6}$  alkyl.

OR

[Hester] A compound of the Formula I:



wherein:

$\text{R}_1$  is selected from the group consisting of

$-\text{CH}_3$ ,

$-\text{C}_6\text{H}_5$  or phenyl substituted with one, 2 or 3  $\text{C}_1-\text{C}_4$  alkyl,  $\text{C}_1-\text{C}_3$  alkoxy, halo,  $\text{C}_1-\text{C}_3$  alkylthio, trifluoromethyl,  $\text{C}_2-\text{C}_6$  dialkylamino, hydroxy or nitro, and

-2-furyl, 2-thienyl, 1-naphthyl, 2-naphthyl or 3,4-methylenedioxyphenyl;

$R_2$  is selected from the group consisting of -H, -NHC(O)H, -NHC(O) $C_1$ - $C_{10}$ alkyl, -NHC(O)phenyl, -NHC(O)phenyl substituted with one, 2 or 3  $C_1$ - $C_4$  alkyl,  $C_1$ - $C_3$  alkoxy, halo,  $C_1$ - $C_3$  alkylthio, trifluoromethyl,  $C_2$ - $C_6$  dialkylamino, hydroxy or nitro, -NHC(O)C(CH<sub>3</sub>)=CHCH<sub>3</sub>, -NHC(O)OC(CH<sub>3</sub>)<sub>3</sub>, -NHC(O)OCH<sub>2</sub>phenyl, -NH<sub>2</sub>, -NHSO<sub>2</sub>-4-methylphenyl, -NHC(O)(CH<sub>2</sub>)<sub>3</sub>COOH, -NHC(O)-4-(SO<sub>3</sub>H)phenyl, -OH, -NHC(O)-1-adamantyl, -NHC(O)O-3-tetrahydrofuranyl, -NHC(O)O-4-tetrahydropyranyl, -NHC(O)CH<sub>2</sub>C(CH<sub>3</sub>)<sub>3</sub>, -NHC(O)C(CH<sub>3</sub>)<sub>3</sub>, -NHC(O)OC $C_1$ - $C_{10}$ alkyl, -NHC(O)NHC $C_1$ - $C_{10}$ alkyl, -NHC(O)NHPH, -NHC(O)NHPH substituted with one, 2 or 3  $C_1$ - $C_4$  alkyl,  $C_1$ - $C_3$  alkoxy, halo,  $C_1$ - $C_3$  alkylthio, trifluoromethyl,  $C_2$ - $C_6$  dialkylamino, or nitro, -NHC(O) $C_3$ - $C_8$ cycloalkyl, -NHC(O)C(CH<sub>2</sub>CH<sub>3</sub>)<sub>2</sub>CH<sub>3</sub>, -NHC(O)C(CH<sub>3</sub>)<sub>2</sub>CH<sub>2</sub>Cl, -NHC(O)C(CH<sub>3</sub>)<sub>2</sub>CH<sub>2</sub>CH<sub>3</sub>, phthalimido, -NHC(O)-1-phenyl-1-cyclopentyl, -NHC(O)-1-methyl-1-cyclohexyl, -NHC(S)NHC(CH<sub>3</sub>)<sub>3</sub>, -NHC(O)NHC(CH<sub>3</sub>)<sub>3</sub> and -NHC(O)NHPH;

$R_3$  is selected from the group consisting of -H, NHC(O)phenyl and -NHC(O)OC(CH<sub>3</sub>)<sub>3</sub>, with the overall proviso that one of  $R_2$  and  $R_3$  is -H but  $R_2$  and  $R_3$  are not both -H;

$R_4$  is -H or selected from the group consisting of -OH, -OAc(-OC(O)CH<sub>3</sub>), -OC(O)OCH<sub>2</sub>C(Cl)<sub>3</sub>, -OCOCH<sub>2</sub>CH<sub>2</sub>NH<sub>3</sub><sup>+</sup> HCOO<sup>-</sup>, -NHC(O)phenyl, -NHC(O)OC(CH<sub>3</sub>)<sub>3</sub>, -OCOCH<sub>2</sub>-CH<sub>2</sub>COOH and pharmaceutically acceptable salts thereof, -OCO(CH<sub>2</sub>)<sub>3</sub>COOH and pharmaceutically acceptable salts thereof, and -OC(O)-Z-C(O)-R' {where Z is ethylene (-CH<sub>2</sub>CH<sub>2</sub>-), propylene (-CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>-), -CH=CH-, 1,2-cyclohexane or 1,2-phenylene, R' is -OH, -OH base, -NR<sub>2</sub>'R<sub>3</sub>', -OR<sub>3</sub>', -SR<sub>3</sub>', -OCH<sub>2</sub>C(O)NR<sub>4</sub>'R<sub>5</sub>' where R<sub>2</sub>' is -H or -CH<sub>3</sub>, R<sub>3</sub>', R<sub>3</sub>' is (CH<sub>2</sub>)<sub>n</sub>NR<sub>6</sub>'R<sub>7</sub>' or (CH<sub>2</sub>)<sub>n</sub>N<sup>+</sup>R<sub>6</sub>'R<sub>7</sub>'R<sub>8</sub>'X' where n is 1-3, R<sub>4</sub>' is -H or  $C_1$ - $C_4$ alkyl, R<sub>5</sub>' is -H, - $C_1$ - $C_4$ alkyl, benzyl, hydroxyethyl, -CH<sub>2</sub>CO<sub>2</sub>H or dimethylaminoethyl, R<sub>6</sub>' and R<sub>7</sub>' are -CH<sub>3</sub>, -CH<sub>2</sub>CH<sub>3</sub>, benzyl or R<sub>6</sub>' and R<sub>7</sub>' together with the nitrogen of NR<sub>6</sub>'R<sub>7</sub>' form a pyrrolidino, piperidino, morpholino, or N-methylpiperizino group; R<sub>8</sub>' is -CH<sub>3</sub>, -CH<sub>2</sub>CH<sub>3</sub> or benzyl, X' is halide, and base is NH<sub>3</sub>, (HOC<sub>2</sub>H<sub>4</sub>)<sub>3</sub>N, N(CH<sub>3</sub>)<sub>3</sub>, CH<sub>3</sub>N(C<sub>2</sub>H<sub>4</sub>)<sub>2</sub>NH, NH<sub>2</sub>(CH<sub>2</sub>)<sub>6</sub>NH<sub>2</sub>, N-methylglucamine, NaOH or KOH}, -OC(O)(CH<sub>2</sub>)<sub>n</sub>NR<sup>2</sup>R<sup>3</sup> {where n is 1-3, R<sup>2</sup> is -H or - $C_1$ - $C_3$ alkyl and R<sup>3</sup> is -H or  $C_1$ - $C_3$ alkyl}, -OC(O)CH(R'')NH<sub>2</sub> {where R'' is selected from the group consisting of -H, -CH<sub>3</sub>, -CH<sub>2</sub>CH(CH<sub>3</sub>)<sub>2</sub>, -CH(CH<sub>3</sub>)CH<sub>2</sub>CH<sub>3</sub>, -CH(CH<sub>3</sub>)<sub>2</sub>, -CH<sub>2</sub>phenyl, -(CH<sub>2</sub>)<sub>4</sub>NH<sub>2</sub>, -CH<sub>2</sub>CH<sub>2</sub>COOH, -(CH<sub>2</sub>)<sub>3</sub>NHC(=NH)NH<sub>2</sub>}, the residue of the amino acid proline, -OC(O)CH=CH<sub>2</sub>, -C(O)CH<sub>2</sub>CH<sub>2</sub>C(O)NHCH<sub>2</sub>CH<sub>2</sub>SO<sub>3</sub><sup>-</sup>Y<sup>+</sup>, -OC(O)CH<sub>2</sub>CH<sub>2</sub>C(O)NHCH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>SO<sub>3</sub><sup>-</sup>Y<sup>+</sup> wherein Y<sup>+</sup> is Na<sup>+</sup> or N<sup>+</sup>(Bu)<sub>4</sub>, and -OC(O)CH<sub>2</sub>CH<sub>2</sub>C(O)OCH<sub>2</sub>CH<sub>2</sub>OH;

$R_5$  is -H or -OH, with the overall proviso that when  $R_5$  is -OH,  $R_4$  is -H and with the further proviso that when  $R_5$  is -H,  $R_4$  is other than H;

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$R_6$  is -H:-H when  $R_7$  is  $\alpha$ - $R_{71}$ : $\beta$ - $R_{72}$  where one of  $R_{71}$  and  $R_{72}$  is -H and the other of  $R_{71}$  and  $R_{72}$  is -X where X is halo and  $R_8$  is -CH<sub>3</sub>; or

$R_6$  is -H:-H when  $R_7$  is  $\alpha$ -H: $\beta$ - $R_{74}$  where  $R_{74}$  and  $R_8$  are taken together to form a cyclopropyl ring; and

$R_{10}$  is -H or -C(O)CH<sub>3</sub>; or

the pharmaceutically acceptable salt thereof when the compound contains either an acidic or basic functional group;

with the overall proviso that when  $R_{71}$  or  $R_{72}$  is fluoro, then  $R_2$  is other than -NHC(O)phenyl or -NHC(O)C(CH<sub>3</sub>)<sub>3</sub>.

The claims of the parties corresponding to the count are:

Chen et al.: claims 1-6, 8 and 9

Hester et al.: claims 2, 3, 7, 19, 20, 34-37, 39-42, 44 and 45.

Bouchard et al: claim 140

### **Count 2**

4 $\alpha$ -10 $\beta$ -diacetoxy-2 $\alpha$ -benzoyloxy-5 $\beta$ ,20-epoxy-1 $\beta$ -hydroxy-7 $\beta$ , 8 $\beta$ -methylene-9-oxo-19-nor-11-taxen-13 $\alpha$ -yl(2R,3S)-3-tert-butoxycarbonylamino-2-hydroxy-3-phenylpropionate

OR

N-debenzoyl-N-t-butoxycarbonyl-7-deoxy-8-desmethyl-7,8-cyclopropataxol.

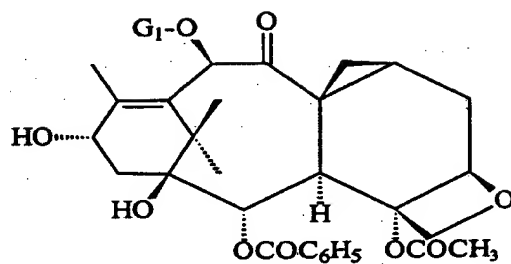
The claims of the parties corresponding to the count are:

Chen et al.: claims 7-9

Bouchard et al.: claim 142

**Count 3A**

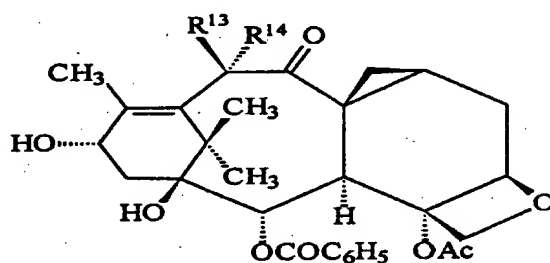
A taxoid of the formula:



in which G<sub>1</sub> represents hydrogen or acetyl,

OR

A compound of the formula:




In which R<sup>13</sup> is hydrogen, acetyloxy or hydroxy; R<sup>14</sup> is hydrogen; or R<sup>13</sup> and R<sup>14</sup> jointly form a carbonyl group.

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The claims of the parties corresponding to the count are:

Chen et al.: claims 10, 11

Bouchard et al. claim 141

  
Mary F. Downey  
Administrative Patent Judge  
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